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6-Aminotriazolo[1,5-a]pyrimidines as precursors of 1,2,4-triazolo[5,1-b]purines*

Triazolo[5,1-b]purines are rare structural analogues of natural nucleosides and nucleobases purine series. At the same time, prominent representatives of azolopurines exhibit a broad spectrum of antiviral effect, activity against of rheumatoid arthritis, psoriasis, Alzheimer's, Parkinson's and etc. Despite the practical value azolo[5,1-b]purines extremely sparingly represented in the chemical literature, due to the complexity of their synthesis. We suggest a convenient way to synthesize triazolopurines with aminotriazolo[1,5-a]pyrimidines (2) as available starting compounds obtained in good yield by reduction of nitro derivatives (1).

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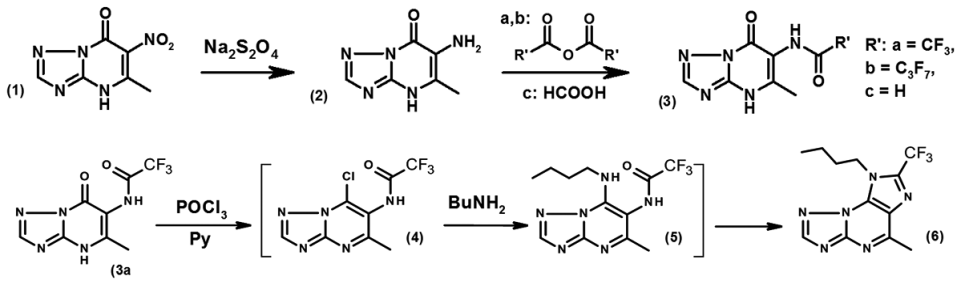
Traditionally one of the most widespread way to turn azinons in aminazines is chorine deoxygenization of heterocycles followed by amination of chlorinated derivatives[1,2]. The need for blocking already existing amino group, for example with acyl moiety, is the peculiarity of this method.

We have used several acyl protecting groups using corresponding anhydrides or acids, among which the most convenient one was trifluoracetyl protection, providing good solubility in organic solures of corresponding trifluoroacetyl derivative.

As a model compound for chlorine deoxygenization, compound 3a was used. Use of phosphoryl chloride and thionyl chloride in presence of tertiary amines or DMF gave no satisfactory results. Pyridine usage as tertiary amine proved to be effective. The resulting chlorine derivative (4) was exposed to butylamine for



the purpose of obtaining of pyrimidine 7 aminotriazol straight away (5). However, spectroscopic data and elemental analysis showed the formation of triazolopurine (6). Thus, we have shown that the use of cis-6-aminotriazole (2) is a promising way of synthesizing azolo [5,1-b] purines.

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